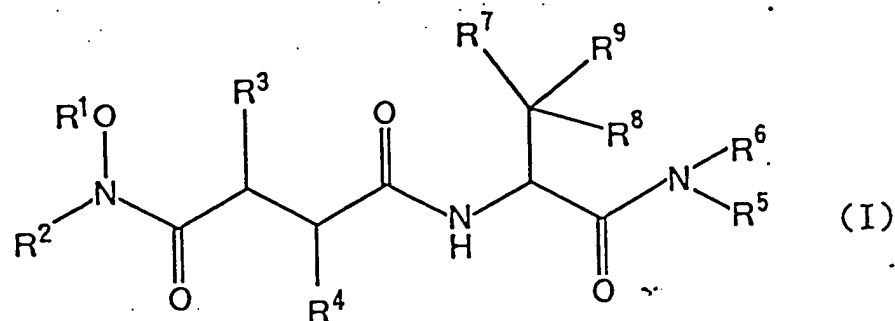


16.(Amended) A compound having the following formula (I):



wherein R^1 , R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is (C_1-C_4) alkyl,

R^9 is $-X-Y$, and Y is $-A-B$ or $-B$,

wherein X , Y , A and B are selected from the following combinations:

- ① X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is amidino;
- ② X is (C_1-C_6) alkylene, Y is $-B$ and B is amino;
- ③ X is phenylene, Y is $-A-B$, A is lower (C_1-C_4) alkylene-imino and B is lower (C_1-C_4) acylimidoyl;
- ④ X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is selected from the group consisting of lower (C_1-C_4) acylimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is $-A-B$, A is lower (C_1-C_4) alkyl and B is amino; and
- ⑥ X is phenylene, Y is $-A-B$, A is imino and B is selected from the group consisting of tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl and tri-lower (C_1-C_4) alkyl

bis(phosphono)methyl;

2) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is hydroxy-substituted (C_1-C_6) alkyl or a nitrogen-containing heterocyclic radical,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is lower (C_1-C_4) acylimidoyl;

C1
004.
3) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is (C_3-C_7) cycloalkyl,

R^9 is $-X-Y$, and Y is $-B$,

wherein X is (C_1-C_6) alkylene and

B is amino; or

② R^5 is a nitrogen-containing heterocyclic radical,

R^9 is $-X-Y$, and Y is $-A-B$,

wherein X is phenylene,

A is lower (C_1-C_4) alkylene-imino and

B is lower (C_1-C_4) acylimidoyl;

4) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is carboxy-substituted lower (C_1-C_4) alkyl, di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl or hydroxy-substituted (C_1-C_6) alkyl, and

R^9 is $-X-Y$,

wherein X is phenylene and

Y is -A-B,

wherein A and B are selected from the following combinations:

- ① A is lower (C_1-C_4) alkylene-imino and
B is lower (C_1-C_4) acylimidoyl; and
- ② A is lower (C_1-C_4) alkylene and
B is amino;

5) R^3 is (C_1-C_9) alkyl,

R^4 is (C_3-C_9) alkyl,

① when R^5 is hydroxy-substituted (C_1-C_6) alkyl,

R^9 is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein

A is lower (C_1-C_4) alkylene-imino and

B is lower (C_1-C_4) acylimidoyl; or

② when R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y,

wherein X is (C_1-C_6) alkylene and

Y is -A-B,

wherein A is imino and

B is amidino;

6) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

① R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene and

A is lower (C_1-C_4) alkylene and

B is amino; or

② R^5 is di-lower (C_1-C_4) alkylamino-substituted lower (C_1-C_4) alkyl, hydroxy-substituted (C_1-C_6) alkyl or lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene and

A is imino and

B is lower (C_1-C_4) acylimidoyl;

C1
COND.
7) R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, carboxy-substituted phenyl-lower (C_1-C_4) alkyl, amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) alkoxy-carbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene, and

B is amino;

8) ① R^3 is (C_1-C_9) alkyl, and

R^4 is hydroxy-substituted (C_3-C_8) alkyl, or

② R^3 is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene and

B is amino;

9) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) acylimido-ylimino-substituted (C_1-C_6) alkyl, lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, or isopropyliminomethylbenzyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is hydrogen;

C1
004, 10) R^3 is aryloxy-substituted lower (C_1-C_4) alkyl, (C_3-C_7) cycloalkyl-substituted lower (C_1-C_4) alkyl, arylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, alkylsulfonamido-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower (C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C_1-C_6) alkylene,

A is imino and

B is amidino;

11) R^3 is phenyl-lower (C_1-C_4) alkyl,

R^5 is lower (C_1-C_4) alkyl,

(i) when R^4 is (C_3-C_9) alkyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene,

A is imino and

B is amidino;

② when R⁴ is unsubstituted or optionally substituted aryl-lower (C₁-C₄) alkyl,

R⁹ is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene,

A is imino and

B is amidino; or

C1
COO4.
③ when R⁴ is (C₃-C₉) alkyl,

R⁹ is -X-Y, and Y is -B,

wherein X is (C₁-C₆) alkylene, and

B is amino;

12) R³ is amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R⁴ is (C₃-C₉) alkyl,

R⁵ is lower (C₁-C₄) alkyl,

R⁹ is -X-Y, and Y is -B,

wherein X is (C₁-C₆) alkylene, and

B is amino;

13) R³ is amino-substituted phenyl-lower (C₁-C₄) alkyl,

R⁴ is (C₃-C₉) alkyl,

R⁵ is di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl,

R⁹ is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene, and

A is imino and

B is lower (C₁-C₄) acylimidoyl;

14) R^3 is guanidino-substituted phenyl-lower (C_1-C_4) alkyl,
guanidino-substituted lower (C_1-C_4) alkyl-substituted
phenyl-lower (C_1-C_4) alkyl, or amino-substituted lower
(C_1-C_4) alkyl-substituted phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -B,
wherein X is (C_1-C_6) alkylene, and
B is amino; or

15) R^3 is amino-substituted lower (C_1-C_4) alkyl-substituted
phenyl-lower (C_1-C_4) alkyl,

R^4 is (C_3-C_9) alkyl,

R^5 is lower (C_1-C_4) alkyl,

R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,

A is lower (C_1-C_4) alkylene, and
B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

17.(Amended) The compound according to claim 16 wherein

R^1 , R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^3 is methyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is -X-Y and Y is -A-B or -B

wherein X, Y, A and B are selected from the following

combinations:

① X is methylene or ethylene, Y is -A-B, A is imino and B is amidino;

② X is ethylene or trimethylene, Y is -B and B is amino;

③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;

④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;

⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and

⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-ethyl bis(phosphono)methyl, tetra-methyl bis(phosphono)methyl, tri-ethyl bis(phosphono)methyl and tri-methyl bis(phosphono)methyl;

2) R^3 is methyl,

R^4 is isobutyl,

R^5 is 2-hydroxy-1-methylethyl or piperidyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is trimethylene,

A is imino and

B is acetimidoyl;

3) R^3 is methyl,
 R^4 is isobutyl,
① R^5 is cyclopropyl,
 R^9 is -X-Y, and Y is -B,
wherein X is ethylene and
B is amino;

② R^5 is morpholino,
 R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;

C1
CDD4.
4) R^3 and R^4 are each isobutyl,
 R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or
2-hydroxyethyl,
 R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,

wherein A and B are selected from the following
combinations:

- ① A is methyleneimino and
B is acetimidoyl; and
- ② A is methylene and
B is amino;

5) R^3 and R^4 are each isobutyl,
① when R^5 is 2-hydroxy-1,1-dimethylethyl,
 R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,

wherein A is methyleneimino and
B is acetimidoyl;

- ② when R^5 is methyl,
 R^9 is -X-Y,
wherein X is methylene or ethylene and
Y is -A-B,
wherein A is imino and
B is amidino;

- 6) R^3 is phenylpropyl,
 R^4 is isobutyl,

- ① R^5 is methyl,
 R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene and
A is methylene and
B is amino; or

- ② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,
 R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

- 7) R^3 is morpholinopropyl, carboxyphenylpropyl,
aminomethylphenylpropyl, hydroxyphenylpropyl,
methoxycarbonylphenylpropyl, piperidinypropyl,
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or
hydroxyoctyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is -X-Y, and Y is -B,

wherein X is trimethylene and
B is amino;

- 8) ① R^3 is isobutyl, and
 R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
 R^4 is isopropyl,
 R^5 is methyl,
 R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

- 9) R^3 is aminomethylphenylpropyl, aminomethylbenzyl,
acetimidoyliminopentyl, isopropyliminopentyl,
(pyridin-4-ylmethylimino)pentyl or
isopropyliminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is hydrogen;

- 10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl or
phthalimidomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino;

- 11) R^3 is phenylpropyl,
 R^5 is methyl,
① when R^4 is isobutyl,
 R^9 is -X-Y, and Y is -A-B,
wherein X is methylene,
A is imino and
B is amidino;

- ② when R^4 is naphthylmethyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is ethylene,
 A is imino and
 B is amidino; or

- ③ when R^4 is isopropyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is trimethylene, and
 B is amino;

C1
004
12) R^3 is aminomethylphenylpropyl,

- ① R^4 is isobutyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is methylene or ethylene, and
 B is amino;

- ② R^4 is isopropyl,
 R^5 is methyl,
 R^9 is $-X-Y$, and Y is $-B$,
wherein X is ethylene, and
 B is amino;

- 13) R^3 is aminophenylpropyl,
 R^4 is isobutyl,
 R^5 is dimethylaminoethyl,
 R^9 is $-X-Y$, and Y is $-A-B$,
wherein X is trimethylene, and
 A is imino and
 B is acetimidoyl;

14) R^3 is guanidinophenylpropyl, guanidinomethylphenylpropyl or aminomethylbenzyl,

R^4 is isobutyl,

R^5 is methyl, and

R^9 is -X-Y, and Y is -B,

wherein X is ethylene, and

B is amino; or

C1
cost
15) R^3 is aminomethylbenzyl,

R^4 is isobutyl,

R^5 is methyl, and

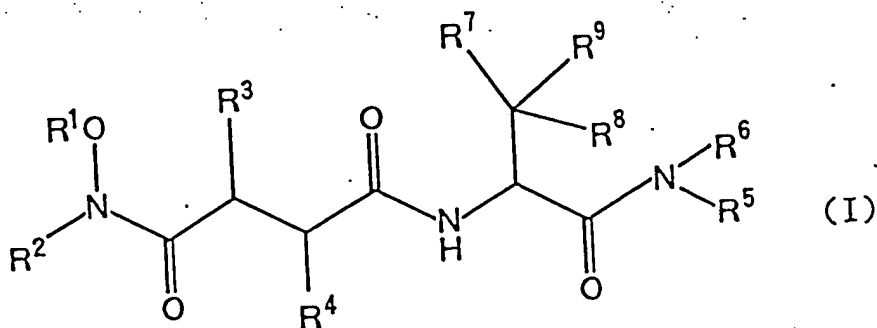
R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene,

A is methylene, and

B is amino.

18. (Amended) A compound having the following formula (I):



wherein R¹, R², R⁶, R⁷ and R⁸ are each hydrogen,

C1
COU4
1) R³ is methyl,

R⁴ is isobutyl,

R⁵ is methyl,

R⁹ is -X-Y, and Y is -A-B or -B,

wherein X, Y, A and B are selected from the following combinations:

- ① X is (C₁-C₆) alkylene, Y is -A-B, A is imino and B is amidino;
- ② X is (C₁-C₆) alkylene, Y is -B and B is amino;
- ③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;
- ④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of lower (C₁-C₄) acylimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is -A-B, A is methylene and B is amino; and
- ⑥ X is phenylene, Y is -A-B, A is imino and B is selected from the group consisting of tetra-lower (C₁-C₄) alkyl bis(phosphono)methyl and tri-lower (C₁-C₄) alkyl bis(phosphono)methyl;

- 2) R^3 is methyl,
 R^4 is isobutyl,
 R^5 is 2-hydroxy-1-methylethyl or piperidyl,
 R^9 is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;

- 3) R^3 is methyl,
 R^4 is isobutyl,
① R^5 is cyclopropyl,
 R^9 is -X-Y, and Y is -B,
wherein X is ethylene and
B is amino;

C1
C004. ② R^5 is morpholino,
 R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;

- 4) R^3 and R^4 are each isobutyl,
 R^5 is 2-carboxyethyl, 2-dimethylaminoethyl or
2-hydroxyethyl,
 R^9 is -X-Y,
wherein X is phenylene and
Y is -A-B,

wherein A and B are selected from the following
combinations:

- ① A is methyleneimino and
B is acetimidoyl; and
② A is methylene and
B is amino;

R^3 and R^4 are each isobutyl,

① when R^5 is 2-hydroxy-1,1-dimethylethyl,

R^9 is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein A is methyleneimino and

B is acetimidoyl;

② when R^5 is methyl,

R^9 is -X-Y,

wherein X is (C_1-C_6) alkylene and

Y is -A-B,

wherein A is imino and

B is amidino;

C1
COO4
6) R^3 is phenylpropyl,

R^4 is isobutyl,

① R^5 is methyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is phenylene and

A is methylene and

B is amino; or

② R^5 is 2-dimethylaminoethyl, 2-hydroxyethyl or methyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is trimethylene,

A is imino and

B is acetimidoyl;

7) R^3 is nitrogen-containing heterocyclic radical-substituted propyl, carboxyphenylpropyl, aminomethylphenylpropyl, hydroxyphenylpropyl, methoxycarbonylphenylpropyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl or hydroxyoctyl,

R^4 is isobutyl,

R^5 is methyl,

R^9 is -X-Y, and Y is -B,

wherein X is trimethylene and
B is amino;

- 8) ① R^3 is isobutyl, and
 R^4 is hydroxyoctyl, or
② R^3 is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
 R^4 is isopropyl,
 R^5 is methyl,
 R^9 is -X-Y, and Y is -B,
wherein X is trimethylene and
B is amino;

- C1
cont
9) R^3 is amino-substituted methyl-substituted phenyl-lower
(C_1-C_4) alkyl, acetimidoyliminopentyl,
isopropyliminopentyl, (pyridin-4-ylmethylimino)pentyl
or isopropyliminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is hydrogen;

- 10) R^3 is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl or
phthalimidomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is -X-Y, and Y is -A-B,
wherein X is ethylene,
A is imino and
B is amidino;

11) R^3 is phenylpropyl,

R^5 is methyl,

① when R^4 is isobutyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is methylene,

A is imino and

B is amidino;

② when R^4 is naphthylmethyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is ethylene,

A is imino and

B is amidino; or

③ when R^4 is isopropyl,

R^9 is -X-Y, and Y is -B,

wherein X is trimethylene, and

B is amino;

12) R^3 is aminomethylphenylpropyl,

R^4 is (C_3-C_9) alkyl,

R^5 is methyl,

R^9 is -X-Y, and Y is -B,

wherein X is (C_1-C_6) alkylene, and

B is amino;

13) R^3 is aminophenylpropyl,

R^4 is isobutyl,

R^5 is dimethylaminoethyl,

R^9 is -X-Y, and Y is -A-B,

wherein X is trimethylene, and

A is imino and

B is acetimidoyl;

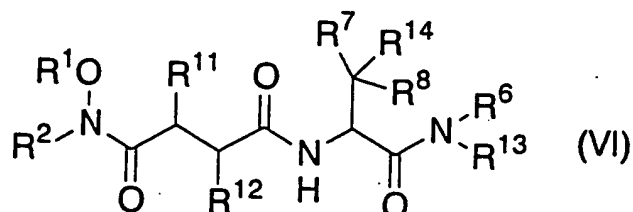
14) R^3 is guanidinophenylpropyl, guanidinomethylphenylpropyl
or aminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is -X-Y, and Y is -B,
wherein X is ethylene, and
B is amino; or

C1
COS4
15) R^3 is aminomethylbenzyl,
 R^4 is isobutyl,
 R^5 is methyl, and
 R^9 is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methylene, and
B is amino;

or a pharmaceutically acceptable salt or solvate thereof.

Kindly add the following new claims.

19. A compound having the following formula (VI):



wherein R^1 is unsubstituted or optionally substituted aralkyl, and R^2 , R^6 , R^7 and R^8 are each hydrogen,

1) R^{11} is (C_1-C_9) alkyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-A-B$, $-A-E$ or $-E$,

wherein X , Y , A , B and E are selected from the following combinations:

- ① X is (C_1-C_6) alkylene, Y is $-A-E$, A is imino and E is protected amidino;
- ② X is (C_1-C_6) alkylene, Y is $-E$ and E is protected amino;
- ③ X is phenylene, Y is $-A-B$, A is lower (C_1-C_4) alkylene-imino and B is lower (C_1-C_4) acylimidoyl;
- ④ X is (C_1-C_6) alkylene, Y is $-A-B$, A is imino and B is selected from the group consisting of lower (C_1-C_4) acylimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is $-E$, E is cyano; and
- ⑥ X is phenylene, Y is $-A-B$, A is imino and B is tetra-lower (C_1-C_4) alkyl bis(phosphono)methyl;

2) R^{11} is (C_1-C_9) alkyl,

R¹² is (C₃-C₉) alkyl,
R¹³ is hydroxy-substituted (C₁-C₆) alkyl or a nitrogen-
containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is (C₁-C₆) alkylene,
A is imino and
B is lower (C₁-C₄) acylimidoyl;

- C2
C204
- 3) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
① R¹³ is (C₃-C₇) cycloalkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene and
E is protected amino; or
② R¹³ is a nitrogen-containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is lower (C₁-C₄) alkylene-imino and
B is lower (C₁-C₄) acylimidoyl;

- 4) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is protected carboxy-substituted lower (C₁-C₄) alkyl, di-lower
(C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl or
protected hydroxy-substituted lower (C₁-C₄) alkyl, and
R¹⁴ is -X-Y,
wherein X is phenylene and
Y is -A-B or -A-E,
wherein A, B and E are selected from the following
combinations:
① Y is -A-B, A is lower (C₁-C₄) alkylene-imino and
B is lower (C₁-C₄) acylimidoyl; and

② Y is -A-E, A is lower (C₁-C₄) alkylene and
E is cyano;

5) R¹¹ is (C₁-C₉) alkyl,

R¹² is (C₃-C₉) alkyl,

① when R¹³ is hydroxy-substituted (C₁-C₆) alkyl,

R¹⁴ is -X-Y,

wherein X is phenylene and

Y is -A-B,

wherein

A is lower (C₁-C₄) alkylene-imino and

B is lower (C₁-C₄) acylimidoyl; or

② when R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y,

wherein X is (C₁-C₆) alkylene and

Y is -A-E,

wherein A is imino and

E is protected amidino;

6) R¹¹ is phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

① R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is phenylene and

E is cyano; or

② R¹³ is di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄)
alkyl, protected hydroxy-substituted lower (C₁-C₄) alkyl
or lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene and

A is imino and

B is lower (C₁-C₄) acylimidoyl;

- 7) R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, protected carboxy-substituted phenyl-lower (C_1-C_4) alkyl, protected amino-substituted phenyl-lower (C_1-C_4) alkyl, protected hydroxy-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) alkoxycarbonyl-substituted phenyl-lower (C_1-C_4) alkyl, oxygen-containing (C_1-C_8) straight chain or branched alkyl, or hydroxy-substituted (C_1-C_8) alkyl;

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

- 8) ① R^{11} is (C_1-C_9) alkyl, and

R^{12} is protected hydroxy-substituted (C_1-C_8) alkyl, or

- ② R^{11} is nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkyl, and

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is $-X-Y$, and Y is $-E$,

wherein X is (C_1-C_6) alkylene and

E is protected amino;

- 9) R^{11} is cyano-substituted phenyl-lower (C_1-C_4) alkyl, lower (C_1-C_4) acylimidoylimino-substituted (C_1-C_6) alkyl, lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, nitrogen-containing heterocyclic radical-substituted lower (C_1-C_4) alkylimino-substituted (C_1-C_6) alkyl, or isopropyliminomethylbenzyl,

R^{12} is (C_3-C_9) alkyl,

R^{13} is lower (C_1-C_4) alkyl,

R^{14} is hydrogen;

10) R¹¹ is aryloxy-substituted lower (C₁-C₄) alkyl, (C₃-C₇) cycloalkyl-substituted lower (C₁-C₄) alkyl, arylsulfonamido-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, alkylsulfonamido-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, or protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -A-E,

wherein X is (C₁-C₆) alkylene,

A is imino and

E is protected amidino;

11) R¹¹ is phenyl-lower (C₁-C₄) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

① when R¹² is (C₃-C₉) alkyl,

R¹⁴ is -X-Y, and Y is -A-E,

wherein X is (C₁-C₆) alkylene,

A is imino and

E is protected amidino;

② when R¹² is unsubstituted or optionally substituted aryl-lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -A-E,

wherein X is (C₁-C₆) alkylene,

A is imino and

E is protected amidino; or

③ when R¹² is (C₃-C₉) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene, and

E is protected amino;

12) R¹¹ is protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene, and

E is protected amino;

13) R¹¹ is protected amino-substituted phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -A-B,

wherein X is (C₁-C₆) alkylene, and

A is imino and

B is lower (C₁-C₄) acylimidoyl;

14) R¹¹ is protected guanidino-substituted phenyl-lower (C₁-C₄) alkyl, protected guanidino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, or protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene, and

E is protected amino; or

15) R¹¹ is protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is phenylene,

E is cyano;

or a salt thereof.

20. The compound according to claim 19, wherein R¹ is benzyl, and R², R⁶, R⁷ and R⁸ are each hydrogen,

- 1) R¹¹ is methyl,
R¹² is isobutyl,
R¹³ is methyl,
R¹⁴ is -X-Y and Y is -A-B, -A-E, or -E
wherein X, Y, A, B and E are selected from the following combinations:
- ① X is methylene or ethylene, Y is -A-E, A is imino and E is protected amidino;
- ② X is ethylene or trimethylene, Y is -E and E is protected amino;
- ③ X is phenylene, Y is -A-B, A is methyleneimino and B is acetimidoyl;
- ④ X is trimethylene, Y is -A-B, A is imino and B is selected from the group consisting of acetimidoyl, propionimidoyl and benzimidoyl;
- ⑤ X is phenylene, Y is -E, E is cyano; and
- ⑥ X is phenylene, Y is -A-B, A is imino and B is tetra-ethyl bis(phosphono)methyl, or tetra-methyl bis(phosphono)methyl;
- 2) R¹¹ is methyl,
R¹² is isobutyl,
R¹³ is 2-hydroxy-1-methylethyl or piperidyl,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is trimethylene,

A is imino and
B is acetimidoyl;

- 3) R^{11} is methyl,
 R^{12} is isobutyl,
① R^{13} is cyclopropyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is ethylene and
E is protected amino; or
② R^{13} is morpholino,
 R^{14} is -X-Y, and Y is -A-B,
wherein X is phenylene,
A is methyleneimino and
B is acetimidoyl;
- C9
COU4.
- 4) R^{11} and R^{12} are each isobutyl,
 R^{13} is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected
2-hydroxyethyl,
 R^{14} is -X-Y,
wherein X is phenylene and
Y is -A-B or -E,
wherein A, B and E are selected from the following
combinations:
① Y is -A-B, A is methyleneimino, and
B is acetimidoyl; and
② Y is -E, and
E is cyano;
- 5) R^{11} and R^{12} are each isobutyl,
① when R^{13} is 2-hydroxy-1,1-dimethylethyl,
 R^{14} is -X-Y,
wherein X is phenylene and

Y is -A-B,
wherein A is methyleneimino and
B is acetimidoyl;

- ② when R¹³ is methyl,
R¹⁴ is -X-Y,
wherein X is methylene or ethylene and
Y is -A-E,
wherein A is imino and
E is protected amidino;

- C2
CDW4
- 6) R¹¹ is phenylpropyl,
R¹² is isobutyl,
① R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or
② R¹³ is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,
R¹⁴ is -X-Y, and Y is -A-B,
wherein X is trimethylene,
A is imino and
B is acetimidoyl;
- 7) R¹¹ is morpholinopropyl, protected carboxyphenylpropyl, protected
aminomethylphenylpropyl, protected hydroxyphenylpropyl,
methoxycarbonylphenylpropyl, piperidinylpropyl,
iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or
protected hydroxyoctyl,
R¹² is isobutyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene and

E is protected amino;

- 8) ① R¹¹ is isobutyl, and
R¹² is protected hydroxyoctyl, or
② R¹¹ is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-
propyl, and
R¹² is isopropyl,
R¹³ is methyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene and
E is protected amino;
- 9) R¹¹ is protected aminomethylphenylpropyl, protected
aminomethylbenzyl or protected aminopentyl,
R¹² is isobutyl,
R¹³ is methyl, and
R¹⁴ is hydrogen;
- 10) R¹¹ is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-
methylbenzyl, methanesulfonamidomethylbenzyl,
phthalimidomethylbenzyl, cyano-phenylpropyl or cyano-benzyl,
R¹² is isobutyl,
R¹³ is methyl, and
R¹⁴ is -X-Y, and Y is -A-E,
wherein X is ethylene,
A is imino and
E is protected amidino;
- 11) R¹¹ is phenylpropyl,
R¹³ is methyl,
① when R¹² is isobutyl,
R¹⁴ is -X-Y, and Y is -A-E,

wherein X is methylene,
A is imino and
E is protected amidino;

- ② when R^{12} is naphthylmethyl,
 R^{14} is -X-Y, and Y is -A-E,
wherein X is ethylene,
A is imino and
E is protected amidino; or

- ③ when R^{12} is isopropyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is trimethylene, and
E is protected amino;

C2
cont. 12) R^{11} is protected aminomethylphenylpropyl,

- ① R^{12} is isobutyl,
 R^{13} is methyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is methylene or ethylene, and
E is protected amino; or

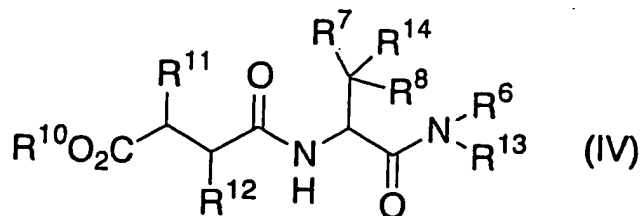
- ② R^{12} is isopropyl,
 R^{13} is methyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is ethylene, and
E is protected amino;

- 13) R^{11} is protected aminophenylpropyl,
 R^{12} is isobutyl,
 R^{13} is dimethylaminoethyl,
 R^{14} is -X-Y, and Y is -A-B,
wherein X is trimethylene, and
A is imino and
B is acetimidoyl;

- 14) R^{11} is protected guanidinophenylpropyl, protected
 guanidinomethylphenylpropyl or protected aminomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-E$,
 wherein X is ethylene, and
 E is protected amino; or

- 15) R^{11} is protected aminomethylbenzyl,
 R^{12} is isobutyl,
 R^{13} is methyl, and
 R^{14} is $-X-Y$, and Y is $-E$,
 wherein X is phenylene,
 E is cyano.

21. A compound having the following formula (IV):



wherein R^{10} is (C_1-C_6) alkyl, and R^6 , R^7 and R^8 are each hydrogen,

- 1) R^{11} is (C_1-C_9) alkyl,
 R^{12} is (C_3-C_9) alkyl,
 R^{13} is (C_1-C_4) alkyl,
 R^{14} is $-X-Y$, and Y is $-A-B$, $-A-E$ or $-E$,
 wherein X , Y , A , B and E are selected from the following

combinations:

- ① X is (C₁-C₆) alkylene, Y is -A-E, A is imino and E is protected amidino;
- ② X is (C₁-C₆) alkylene, Y is -E and E is protected amino;
- ③ X is phenylene, Y is -E, and E is cyano; and
- ④ X is phenylene, Y is -A-B, A is imino and B is tetra-lower (C₁-C₄) alkyl bis(phosphono)methyl;

- C₂
COO⁴
- 2) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is hydroxy-substituted (C₁-C₆) alkyl or a nitrogen-containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene,
E is protected amino;

- 3) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
① R¹³ is (C₃-C₇) cycloalkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene and
E is protected amino; or
② R¹³ is a nitrogen-containing heterocyclic radical,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene and E is cyano;

- 4) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is protected carboxy-substituted lower (C₁-C₄) alkyl, di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl or protected hydroxy-substituted lower (C₁-C₄) alkyl, and
R¹⁴ is -X-Y,

wherein X is phenylene and
Y is -E, and E is cyano;

- 5) R¹¹ is (C₁-C₉) alkyl,
R¹² is (C₃-C₉) alkyl,
① when R¹³ is protected hydroxy-substituted lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y,

wherein X is phenylene and
Y is -E, and E is cyano;

- ② when R¹³ is lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y,

wherein X is (C₁-C₆) alkylene and
Y is -A-E,
wherein A is imino and
E is protected amidino;

- 6) R¹¹ is phenyl-lower (C₁-C₄) alkyl,
R¹² is (C₃-C₉) alkyl,
① R¹³ is lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or
② R¹³ is di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄)
alkyl, protected hydroxy-substituted lower (C₁-C₄) alkyl or
lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene, and E is protected amino;

- 7) R¹¹ is nitrogen-containing heterocyclic radical-substituted lower
(C₁-C₄) alkyl, protected carboxy-substituted phenyl-lower
(C₁-C₄) alkyl, protected amino-substituted phenyl-lower (C₁-C₄)
alkyl, protected hydroxy-substituted phenyl-lower (C₁-C₄) alkyl,

lower (C₁-C₄) alkoxy-carbonyl-substituted phenyl-lower (C₁-C₄) alkyl, oxygen-containing (C₁-C₈) straight chain or branched alkyl, or hydroxy-substituted (C₁-C₈) alkyl;

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene and

E is protected amino;

8) ① R¹¹ is (C₁-C₉) alkyl, and

R¹² is protected hydroxy-substituted (C₁-C₈) alkyl, or

② R¹¹ is nitrogen-containing heterocyclic radical-substituted lower (C₁-C₄) alkyl, and

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is (C₁-C₆) alkylene and

E is protected amino;

9) R¹¹ is protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, or protected amino-substituted (C₁-C₆) alkyl,

R¹² is (C₃-C₉) alkyl,

R¹³ is lower (C₁-C₄) alkyl,

R¹⁴ is hydrogen;

10) R¹¹ is aryloxy-substituted lower (C₁-C₄) alkyl, (C₃-C₇) cycloalkyl-substituted lower (C₁-C₄) alkyl, arylsulfonamido-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, alkylsulfonamido-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl, or protected amino-substituted lower (C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,

R^{12} is (C₃-C₉) alkyl,
 R^{13} is lower (C₁-C₄) alkyl,
 R^{14} is -X-Y, and Y is -A-E,
wherein X is (C₁-C₆) alkylene,
A is imino and
E is protected amidino;

- 11) R^{11} is phenyl-lower (C₁-C₄) alkyl,
 R^{13} is lower (C₁-C₄) alkyl,
① when R^{12} is (C₃-C₉) alkyl,
 R^{14} is -X-Y, and Y is -A-E,
wherein X is (C₁-C₆) alkylene,
A is imino and
E is protected amidino;
② when R^{12} is unsubstituted or optionally substituted
aryl-lower (C₁-C₄) alkyl,
 R^{14} is -X-Y, and Y is -A-E,
wherein X is (C₁-C₆) alkylene,
A is imino and
E is protected amidino; or
③ when R^{12} is (C₃-C₉) alkyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene, and
E is protected amino;

- 12) R^{11} is protected amino-substituted lower (C₁-C₄) alkyl-substituted
phenyl-lower (C₁-C₄) alkyl,
 R^{12} is (C₃-C₉) alkyl,
 R^{13} is lower (C₁-C₄) alkyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene, and
E is protected amino;

13) R¹¹ is protected amino-substituted phenyl-lower (C₁-C₄) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is di-lower (C₁-C₄) alkylamino-substituted lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene, and
E is protected amino;

CA
COO⁴
14) R¹¹ is protected guanidino-substituted phenyl-lower (C₁-C₄) alkyl,
protected guanidino-substituted lower (C₁-C₄) alkyl-substituted
phenyl-lower (C₁-C₄) alkyl, or protected amino-substituted lower
(C₁-C₄) alkyl-substituted phenyl-lower (C₁-C₄) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is (C₁-C₆) alkylene, and
E is protected amino; or

15) R¹¹ is protected amino-substituted lower (C₁-C₄) alkyl-substituted
phenyl-lower (C₁-C₄) alkyl,
R¹² is (C₃-C₉) alkyl,
R¹³ is lower (C₁-C₄) alkyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene, and
E is cyano;

or a salt thereof.

22. The compound according to claim 21, wherein R¹⁰ is tert-butyl,
and R⁶, R⁷ and R⁸ are each hydrogen,

- 1) R^{11} is methyl,
 R^{12} is isobutyl,
 R^{13} is methyl,
 R^{14} is -X-Y and Y is -A-B, -A-E or -E
wherein X, Y, A, B and E are selected from the following combinations:
- ① X is methylene or ethylene, Y is -A-E, A is imino and E is protected amidino;
 - ② X is ethylene or trimethylene, Y is -E and E is protected amino;
 - ③ X is phenylene, Y is -E, and E is cyano; and
 - ④ X is phenylene, Y is -A-B, A is imino, and B is tetra-ethyl bis(phosphono)methyl;
- 2) R^{11} is methyl,
 R^{12} is isobutyl,
 R^{13} is 2-hydroxy-1-methylethyl or piperidyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is trimethylene,
E is protected amino;
- 3) R^{11} is methyl,
 R^{12} is isobutyl,
- ① R^{13} is cyclopropyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is ethylene and
E is protected amino;
 - ② R^{13} is morpholino,
 R^{14} is -X-Y, and Y is -E,
wherein X is phenylene, and
E is cyano;

- 4) R^{11} and R^{12} are each isobutyl,
 R^{13} is protected 2-carboxyethyl, 2-dimethylaminoethyl or protected
2-hydroxyethyl,
 R^{14} is -X-Y,
wherein X is phenylene and
Y is -E, and E is cyano;

- 5) R^{11} and R^{12} are each isobutyl,
① when R^{13} is 2-hydroxy-1,1-dimethylethyl,
 R^{14} is -X-Y,
wherein X is phenylene and
Y is -E, wherein E is cyano;

- ② when R^{13} is methyl,
 R^{14} is -X-Y,
wherein X is methylene or ethylene, and
Y is -A-E,
wherein A is imino and
E is protected amidino;

- 6) R^{11} is phenylpropyl,
 R^{12} is isobutyl,
① R^{13} is methyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is phenylene and
E is cyano; or
② R^{13} is 2-dimethylaminoethyl, protected 2-hydroxyethyl or methyl,
 R^{14} is -X-Y, and Y is -E,
wherein X is trimethylene, and
E is protected amino;

- 7) R^{11} is morpholinopropyl, protected carboxyphenylpropyl,

protected aminomethylphenylpropyl, protected hydroxyphenylpropyl, methoxycarbonylphenylpropyl, piperidinylpropyl, iso-butyloxyethyl, butoxyethyl, ethoxyethoxyethyl or protected hydroxyoctyl,

R¹² is isobutyl,

R¹³ is methyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is trimethylene and

E is protected amino;

8) ① R¹¹ is isobutyl, and

R¹² is protected hydroxyoctyl, or

② R¹¹ is (3,4,4-trimethyl-2,5-dioxo-imidazolidin-1-yl)-propyl, and

R¹² is isopropyl,

R¹³ is methyl,

R¹⁴ is -X-Y, and Y is -E,

wherein X is trimethylene and

E is protected amino;

9) R¹¹ is protected aminomethylphenylpropyl, protected aminomethylbenzyl, or protected aminopentyl,

R¹² is isobutyl,

R¹³ is methyl, and

R¹⁴ is hydrogen;

10) R¹¹ is phenoxyethyl, cyclohexylpropyl, toluenesulfonamido-methylbenzyl, methanesulfonamidomethylbenzyl or phthalimidomethylbenzyl,

R¹² is isobutyl,

R¹³ is methyl, and

R¹⁴ is -X-Y, and Y is -A-E,

wherein X is ethylene,

A is imino, and
E is protected amidino;

11) R^{11} is phenylpropyl,

R^{13} is methyl,

① when R^{12} is isobutyl,

R^{14} is -X-Y, and Y is -A-E,

wherein X is methylene,

A is imino, and

E is protected amidino;

② when R^{12} is naphthylmethyl,

R^{14} is -X-Y, and Y is -A-E,

wherein X is ethylene,

A is imino, and

E is protected amidino; or

C2
COO⁴
③ when R^{12} is isopropyl,

R^{14} is -X-Y, and Y is -E,

wherein X is trimethylene, and

E is protected amino;

12) R^{11} is protected aminomethylphenylpropyl,

① R^{12} is isobutyl,

R^{13} is methyl,

R^{14} is -X-Y, and Y is -E,

wherein X is methylene or ethylene, and

E is protected amino;

② R^{12} is isopropyl,

R^{13} is methyl,

R^{14} is -X-Y, and Y is -E,

wherein X is ethylene, and

E is protected amino;

13) R¹¹ is protected aminophenylpropyl,
R¹² is isobutyl,
R¹³ is dimethylaminoethyl,
R¹⁴ is -X-Y, and Y is -E,
wherein X is trimethylene, and
E is protected amino;

CA
CDU: 14) R¹¹ is protected guanidinophenylpropyl, protected
guanidinomethylphenylpropyl or protected aminomethylbenzyl,
R¹² is isobutyl,
R¹³ is methyl, and
R¹⁴ is -X-Y, and Y is -E,
wherein X is ethylene, and
E is protected amino; or

15) R¹¹ is protected aminomethylbenzyl,
R¹² is isobutyl,
R¹³ is methyl, and
R¹⁴ is -X-Y, and Y is -E,
wherein X is phenylene, and
E is cyano.
